



# **STIC Search Report**

## **Biotech-Chem Library**

**STIC Database Tracking Number: 134388**

**TO: Jason H Johnsen**  
**Location: rem/5a44/5c18**  
**Art Unit: 1623**  
**Wednesday, October 06, 2004**

**Case Serial Number: 10/762907**

**From: Peggy Ruppel**  
**Location: Biotech-Chem Library**  
**REMSEN 1B65**  
**Phone: 571-272-2557**

**Peggy.Ruppel@uspto.gov**

### **Search Notes**

The results of your search request are attached. Please contact me if you have any questions or comments.

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Access DB# 138588

RECEIVED  
OCT -6 2004  
**SEARCH REQUEST FORM**  
Scientific and Technical Information Center

Requester's Full Name: Tyson Johnson Examiner #: 80613 Date: 10/14/04  
Art Unit: 1623 Phone Number: 8571-272-3106 Serial Number: 10/762,907  
Mail Box and Bldg/Room Location: 5A14 (offic) Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need. ME

\*\*\*\*\*  
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Carbohydrate prodrugs of Fluorooxides  
Inventors (please provide full names): Kevin Gillman & Danielle Bocchino

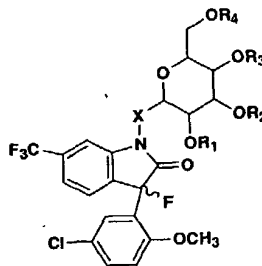
Earliest Priority Filing Date: 2/3/03

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search this compound for any known use for treatment or diagnosis of disease. Also, please search as relates to large-conductance calcium activated potassium channels.

What is claimed is:

1. A compound of the formula



5 wherein the wavy bond (~~~~) represents the racemate, the (R)-enantiomer or the (S)-enantiomer;

R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, and R<sup>4</sup> each are independently hydrogen or -P(O)OR<sup>5</sup>OR<sup>6</sup>;

R<sup>5</sup> and R<sup>6</sup> each are independently hydrogen or C<sub>1-4</sub> alkyl;

X is a covalent bond or -CR<sup>5</sup>R<sup>6</sup>O-;

10 or a nontoxic pharmaceutically acceptable salt or solvate thereof.

STAFF USE ONLY

	Type of Search	Vendors and cost where applicable
Searcher: _____	NA Sequence (#) _____	STN _____
Searcher Phone #: _____	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) _____	Questel/Orbit _____
Date Searcher Picked Up: _____	Bibliographic _____	Dr. Link _____
Date Completed: _____	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: _____	Fulltext _____	Sequence Systems _____
Clerical Prep Time: _____	Patent Family _____	WWW/Internet _____
Online Time: _____	Other _____	Other (Specify) _____

=> b reg

FILE 'REGISTRY' ENTERED AT 15:01:12 ON 06 OCT 2004

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 4 OCT 2004 HIGHEST RN 756793-93-8

DICTIONARY FILE UPDATES: 4 OCT 2004 HIGHEST RN 756793-93-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

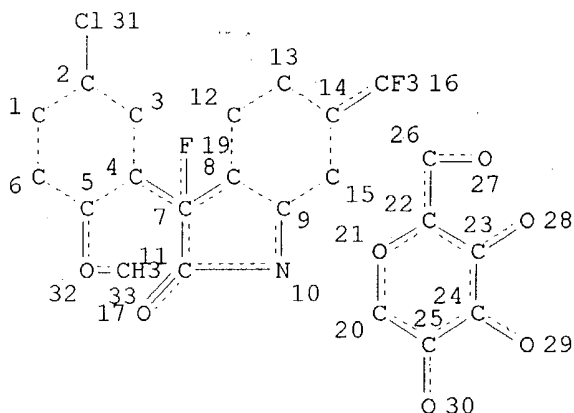
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d que 114

L12 STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 32

STEREO ATTRIBUTES: NONE

L14 6 SEA FILE=REGISTRY SSS FUL L12

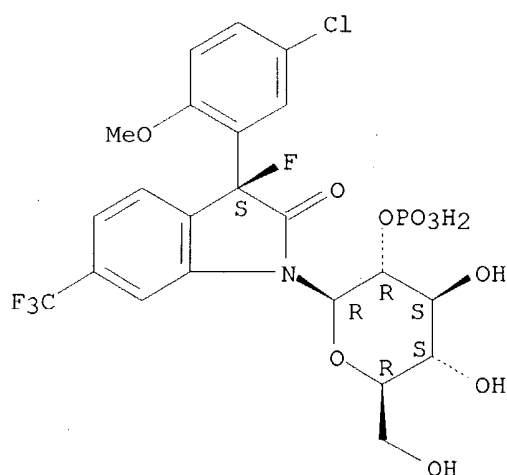
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L14 ANSWER 1 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN

Searched by P. Ruppel

RN 728024-07-5 REGISTRY  
 CN 2H-Indol-2-one, 3-(5-chloro-2-methoxyphenyl)-3-fluoro-1,3-dihydro-1-(2-O-phosphono-β-D-glucopyranosyl)-6-(trifluoromethyl)-, (3S)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C22 H21 Cl F4 N O10 P  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

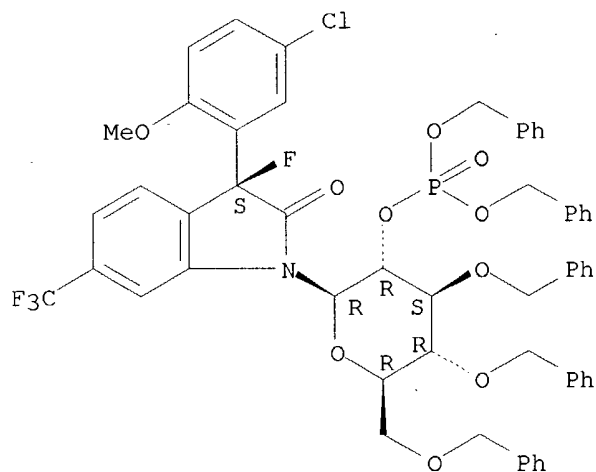


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14 ANSWER 2 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN  
 RN 728024-06-4 REGISTRY  
 CN 2H-Indol-2-one, 1-[2-O-[bis(phenylmethoxy)phosphinyl]-3,4,6-tris-O-(phenylmethyl)-β-D-glucopyranosyl]-3-(5-chloro-2-methoxyphenyl)-3-fluoro-1,3-dihydro-6-(trifluoromethyl)-, (3S)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C57 H51 Cl F4 N O10 P  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14 ANSWER 3 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN

RN 728024-05-3 REGISTRY

CN 2H-Indol-2-one, 3-(5-chloro-2-methoxyphenyl)-3-fluoro-1-β-D-glucopyranosyl-1,3-dihydro-6-(trifluoromethyl)-, (3S)-(9CI) (CA INDEX NAME)

FS STEREOSEARCH

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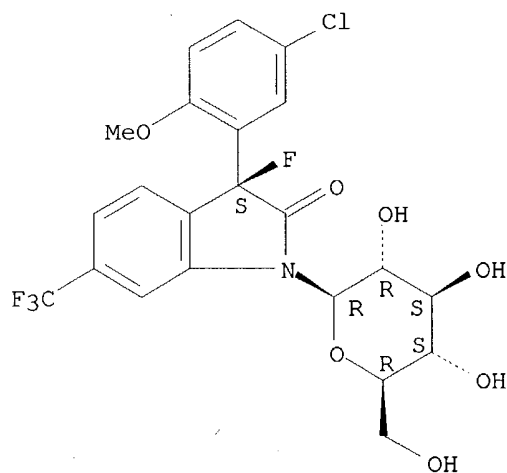
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14 ANSWER 4 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN

RN 728024-04-2 REGISTRY

CN 2H-Indol-2-one, 3-(5-chloro-2-methoxyphenyl)-3-fluoro-1,3-dihydro-6-(trifluoromethyl)-1-[3,4,6-tris-O-(phenylmethyl)-β-D-glucopyranosyl]-, (3S)-(9CI) (CA INDEX NAME)

FS STEREOSEARCH

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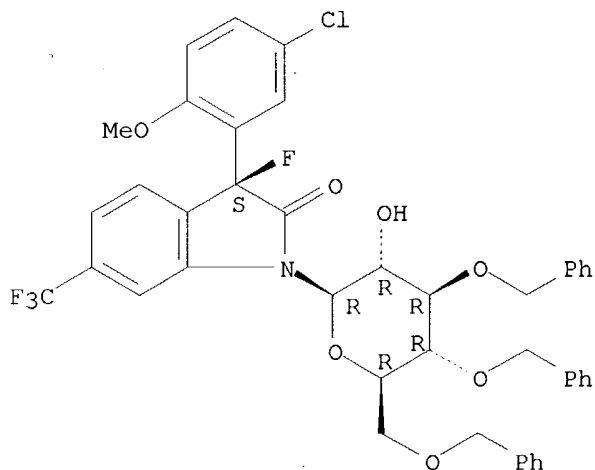
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

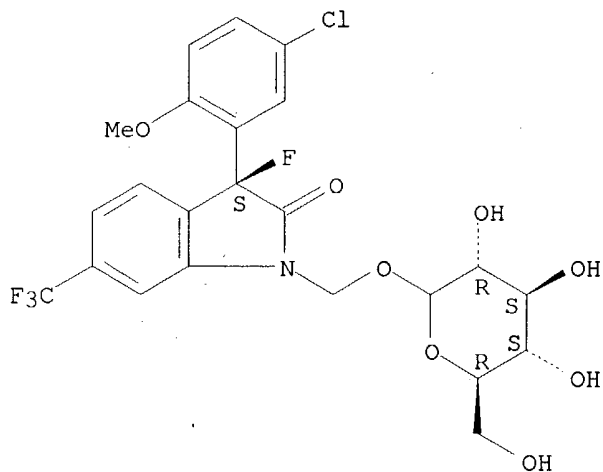


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14 ANSWER 5 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN  
RN 728024-03-1 REGISTRY  
CN 2H-Indol-2-one, 3-(5-chloro-2-methoxyphenyl)-3-fluoro-1-[(D-glucopyranosyloxy)methyl]-1,3-dihydro-6-(trifluoromethyl)-, (3S)- (9CI)  
(CA INDEX NAME)  
FS STEREOSEARCH  
MF C23 H22 Cl F4 N O8  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
(Uses)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L14 ANSWER 6 OF 6 REGISTRY COPYRIGHT 2004 ACS on STN

RN 728024-01-9 REGISTRY

CN 2H-Indol-2-one, 3-(5-chloro-2-methoxyphenyl)-3-fluoro-1,3-dihydro-1-  
[[[2,3,4,6-tetrakis-O-(phenylmethyl)-D-glucopyranosyl]oxy]methyl]-6-  
(trifluoromethyl)-, (3S)- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C51 H46 Cl F4 N O8

SR CA

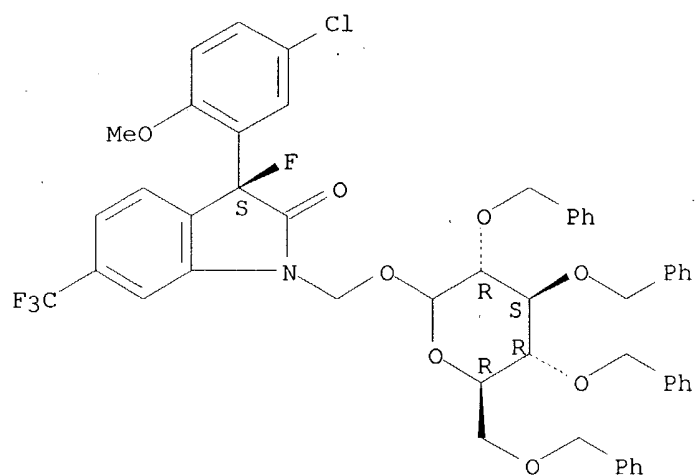
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.





\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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FILE 'HOME' ENTERED AT 15:01:33 ON 06 OCT 2004

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=> b hcaplus

FILE 'HCAPLUS' ENTERED AT 15:01:42 ON 06 OCT 2004

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FILE COVERS 1907 - 6 Oct 2004 VOL 141 ISS 15

FILE LAST UPDATED: 5 Oct 2004 (20041005/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> d que l15 nos

L12 STR

L14 6 SEA FILE=REGISTRY SSS FUL L12

L15 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L14

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L15 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:633274 HCAPLUS

DOCUMENT NUMBER: 141:157385

TITLE: Preparation of monosaccharides prodrugs of fluorooxindoles useful in treatment of disorders which are responsive to the opening of potassium channels

INVENTOR(S): Gillman, Kevin; Bocchino, Danielle M.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 11 pp.

CODEN: USXXCO

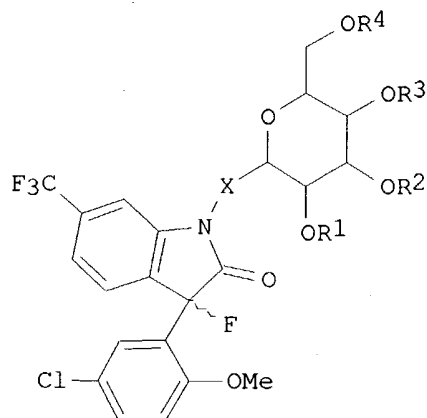
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004152646	A1	20040805	US 2004-762907	20040122
PRIORITY APPLN. INFO.: GI			US 2003-444425P	P 20030203



I

AB The present invention provides novel prodrug derivs. of fluorooxindoles I, wherein the wavy bond represents the racemate, the (R)-enantiomer or the (S)-enantiomer, R1-R4 are independently H, P(O)OR5OR6; R5 and R6 are independently H, alkyl; X is a covalent bond or -CR5R6O- are as defined herein, or a nontoxic pharmaceutically acceptable salt or solvate thereof and are useful in the treatment of human disorders which are responsive to the opening of potassium channels. Thus, phosphoric acid mono-[2-(R)-[3-(S)-(5-chloro-2-methoxy-phenyl)-3-fluoro-2-oxo-6-trifluoromethyl-2,3-dihydro-indol-1-yl]-4-(S),5-(S)-dihydroxy-6-(R)-hydroxymethyl-tetrahydro-pyran-3-(R)-yl]ester, was prepared and tested in rats for the treatment of disorders which are responsive to the opening of potassium channels. The disorders are ischemia, stroke, convulsions, epilepsy, asthma, irritable bowel syndrome, migraine, traumatic brain injury, spinal cord injury, carbon monoxide poisoning, sexual dysfunction, and urinary incontinence.

IT 728024-03-1P 728024-05-3P 728024-07-5P

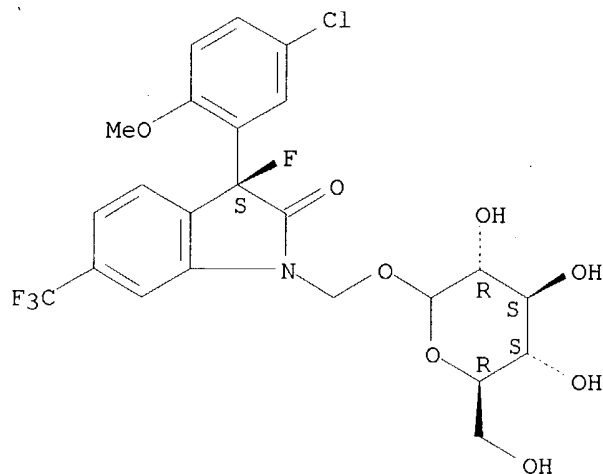
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of monosaccharides prodrugs of fluorooxindoles useful in treatment of disorders which are responsive to the opening of potassium channels)

RN 728024-03-1 HCAPTUS

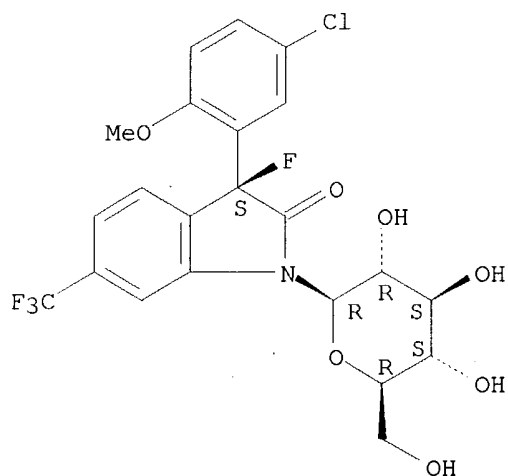
CN 2H-Indol-2-one, 3-(5-chloro-2-methoxyphenyl)-3-fluoro-1-[(D-glucopyranosyloxy)methyl]-1,3-dihydro-6-(trifluoromethyl)-, (3S)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



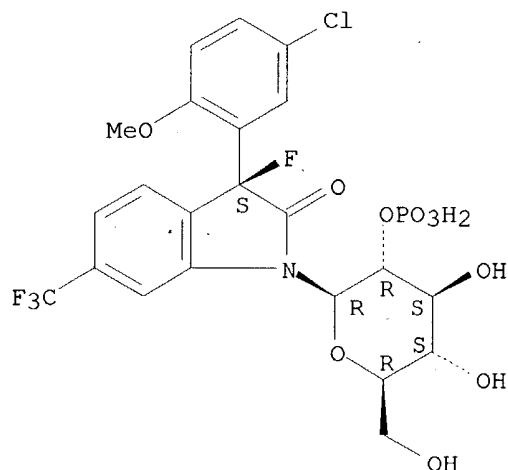
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Absolute stereochemistry.



RN 728024-07-5 HCAPLUS  
 CN 2H-Indol-2-one, 3-(5-chloro-2-methoxyphenyl)-3-fluoro-1,3-dihydro-1-(2-O-phosphono-β-D-glucopyranosyl)-6-(trifluoromethyl)-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



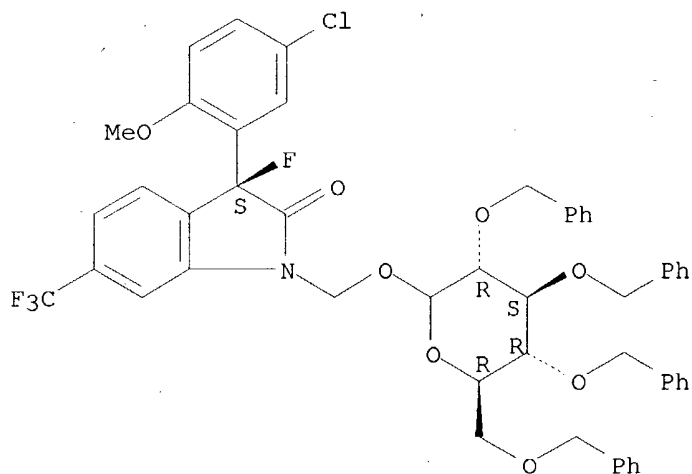
IT 728024-01-9P 728024-04-2P 728024-06-4P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of monosaccharides prodrugs of fluorooxindoles useful in treatment of disorders which are responsive to the opening of potassium channels)

RN 728024-01-9 HCAPLUS

CN 2H-Indol-2-one, 3-(5-chloro-2-methoxyphenyl)-3-fluoro-1,3-dihydro-1-[[[2,3,4,6-tetrakis-O-(phenylmethyl)-D-glucopyranosyl]oxy]methyl]-6-(trifluoromethyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

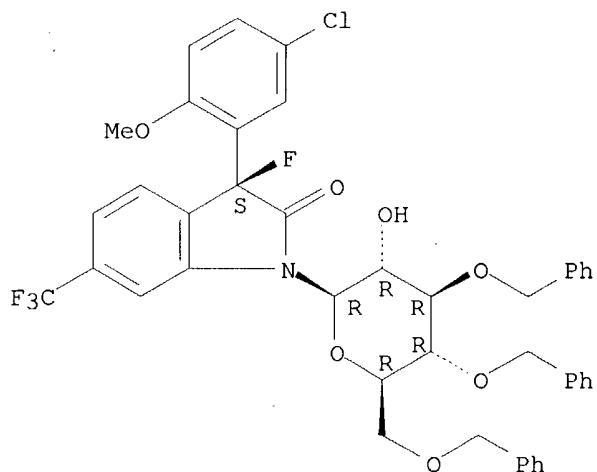


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Absolute stereochemistry.

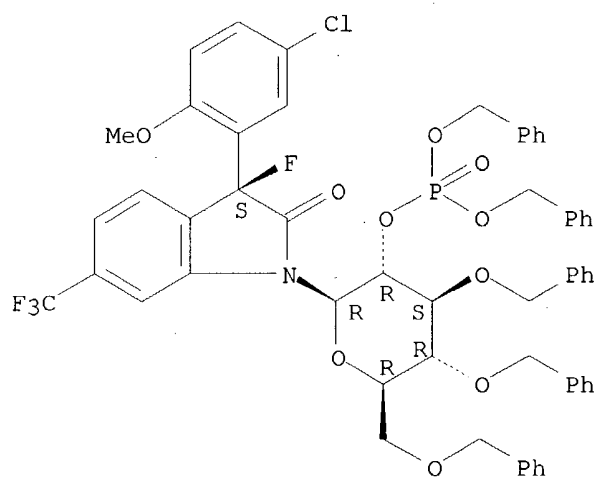
Searched by P. Ruppel



RN 728024-06-4 HCAPLUS

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Absolute stereochemistry.



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